Attorney Docket No.: 38005-0186

CLAIMS

What is claimed is:

1. A compound of the formula I,

in which

R1, R2, R3, R4 independently of one another are H; F, Cl, Br, I, ON, N₃, NO₂, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CH₂-phenyl, O-phenyl, O-CO-(C₁-C₈)-alkyl, O-CO-(C₃-C₈)-cycloalkyl, where in the alkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

 $S(O)_{0-2}(C_1-C_8)$ -alkyl, $S(O)_{0-2}(C_3-C_8)$ -cycloalkyl, where in the alkyl radicals up to seven hydrogen atoms may be replaced by fluorine; NH_2 , NH- (C_1-C_8) -alkyl, NH- (C_3-C_8) -cycloalkyl, $N[(C_1-C_8)$ -alkyl]₂, $N[(C_3-C_8)$ -cycloalkyl]₂, NH-CO- (C_1-C_8) -alkyl, NH-CO- (C_3-C_8) -cycloalkyl;

 $SO_3H, SO_2\text{-}NH_2, SO_2\text{-}NH\text{-}(C_1\text{-}C_8)\text{-}alkyl, SO_2\text{-}NH\text{-}(C_3\text{-}C_8)\text{-}cycloalkyl;} \\ SO_2\text{-}(C_1\text{-}C_6)\text{-}alkyl;}$

NH-SO₂-NH₂; NH-SO₂-(C_1 - C_8)-alkyl, NH-SO₂-(C_3 - C_8)-cycloalkyl;

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O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, COO(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂

(C₁-C₈)-alkyl, (C₃-C₈)cycloalkyl, (C₂-C₈)-alkenyl, (C₂-C₈)-alkynyl, wherein the alkyl, alkenyl, and alkynyl groups one to seven hydrogen atoms may be replaced by fluorine;

or one hydrogen may be replaced by OH, OC(O)CH₃, O-CH₂-Ph, NH₂, NH-CO-CH₃ or N(COOCH₂Ph)₂

phenyl, 1- or 2-naphthyl,

5-tetrazolyl, 1 -[(C_1-C_6) -alkyl]-5-tetrazolyl, 2-[(C_1-C_6) -alkyl]-5-tetrazolyl,

1-imidazolyl,

1-or 4-[1,2,4]-triazolyl,

2- or 3-thienyl,

2- or 3-furyl,

2-, 3- or 4-pyridyl,

2-, 4- or 5-oxazolyl,

3-, 4- or 5-isoxazolyl,

2-, 4- or 5-thiazolyl,

3-, 4- or 5-isothiazolyl,

where the aryl radical or heterocycle may be substituted up to two times by

F, Cl, Br, CN,

OH, (C_1-C_4) -alkyl, CF_3 , $O-(C_1-C_4)$ -alkyl,

 $S(O)_{0-2}(C_1-C_6)$ -alkyl, NH_2 , $NH-SO_2$ - (C_1-C_4) -alkyl;

COOH, CO-O-(C₁-C₄)-alkyl, CO-NH₂ and where in the alkyl groups one to seven hydrogen atoms may be replaced by fluorine; or

R2 and R3 together form the radical -O-CH₂-O-;

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X is S, SO, SO_2 ;

R5

Y is $(CH_2)_p$, where p may be 0,1, 2 or 3;

is (C_1-C_{18}) -alkyl, (C_3-C_4) -cycloalkyl, (C_6-C_8) -cycloalkyl, where in the alkyl groups up to seven hydrogen atoms may be replaced by fluorine; $(CH_2)_{1-6}$ -COOH, $(CH_2)_{1-6}$ -COO- $(C_1$ -C₆)-alkyl, $(CH_2)_{1-6}$ -CONH₂ CH_2 -CH(NHR10)-COR11, where R10 may be H or C(O)- $(C_1$ - $C_6)$ -alkyl and R11 may be OH, O- (C_1-C_6) -alkyl or NH₂;

> phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by

F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁- C_8)-alkyl, O-CO-(C_3 - C_8)-cycloalkyl, $S(O)_{0-2}(C_1$ - C_8)-alkyl, $S(O)_{0-2}(C_3$ -C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁- C_8)-alkyl]₂, N[(C_3 - C_8)-cycloalkyl]₂, NH-CO-(C_1 - C_8)-alkyl, NH-CO-(C_3 -C₈)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH₋(C₁-C₈)-alkyl, SO₂-NH₋(C₃- C_8)-cycloalkyl, NH-SO₂-NH₂; NH-SO₂-(C_1 - C_8)-alkyl, NH-SO₂-(C_3 - C_8)cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO- $O(C_1-C_8)$ -alkyl, $CO-O-(C_3-C_8)$ -cycloalkyl, $CO-NH_2$, $CO-NH(C_1-C_8)$ alkyl, CO-N[(C_1 - C_8)-alkyl]₂;

 (C_1-C_8) -alkyl, (C_3-C_8) -cycloalkyl, where in the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

R6 is $(CH_2)_{0.6}$ -R9, $(CH_2)_{0.6}$ -COOH, $(CH_2)_{0.6}$ -COO- $(C_1$ -C₆)-alkyl, $(CH_2)_{0.6}$ -CONH₂, (CH₂)₀₋₆-CH(NHR15)-COR16, F, Cl, Br, CN, (C₁-C₁₈)-alkyl, (C_3-C_4) -cycloalkyl, (C_6-C_8) -cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R15 is H,
$$C(O)$$
- $(C_1$ - $C_6)$ -alkyl;

R16 is OH,
$$O-(C_1-C_6)$$
-alkyl, NH_2

R7 is (CH₂)₀₋₄-R12, H, (C₁-C₁₂)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, COO(C₁-C₆)-alkyl, COO(C₃-C₈)-cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;

R8 is (CH₂)_{0.4}-R14, (C₁-C₁₂)-alkyl, (C₃-C₄-cycloalkyl, (C₆-C₈)-cycloalkyl, where in the alkyl or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine atoms;

R9, R12, R14 independently of one another are

rings or ring systems are in each case substituted up to three times by $F, Cl, Br, I, CN, OH, O(C_1-C_8)-alkyl, O(C_3-C_8)-cycloalkyl, O-CO-(C_1-C_8)-alkyl, O-CO-(C_3-C_8)-cycloalkyl, S(O)_{0-2}(C_1-C_8)-alkyl, S(O)_{0-2}(C_3-C_8)-cycloalkyl, NH_2, N$

phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the

case one to seven hydrogen atoms may be replaced by fluorine;

and its physiologically acceptable salts.

A compound of the formula I as claimed in claim 1 in which
 R1, R2, R3, R4 independently of one another are H, F, Cl, Br, N₃, O(C₁-C₈)alkyl, or (C₁-C₈)-alkyl and where in the alkyl groups one to seven
hydrogen atoms may be replaced by fluorine;

where in each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

- X is S, SO, or SO_2 ;
- Y is $(CH_2)_p$, where p may be 0, 1, 2, or 3;
- is (C₁-C₁₈)-alkyl, (C₃-C₄)-cycloalkyl, (C₆-C₈)-cycloalkyl, where in the alkyl groups up to seven hydrogen atoms may be replaced by fluorine;

 (CH₂)₁₋₆-COOH, (CH₂)₁₋₆-COO-(C₁-C₆)-alkyl, (CH₂)₁₋₆-CONH₂

 CH₂-CH(NHR10)-COR11, where R10 may be H or C(O)-(C₁-C₆)-alkyl and R11 may be OH, O-(C₁-C₆)-alkyl or NH₂;

Phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by

F, Cl, Br, I, CN, OH, O(C_1 - C_8)-alkyl, O(C_3 - C_8)-cycloalkyl, O-CO-(C_1 - C_8)-alkyl, O-CO-(C_3 - C_8)-cycloalkyl, S(O)₀₋₂(C_1 - C_8)-alkyl, S(O)₀₋₂(C_3 - C_8)-cycloalkyl, NH-(C_1 - C_8)-alkyl, NH-(C_3 - C_8)-cycloalkyl, N[(C_1 - C_8)-alkyl]₂, N[(C_3 - C_8)-cycloalkyl]₂, NH-CO-(C_1 - C_8)-alkyl, NH-CO-(C_3 - C_8)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH-(C_1 - C_8)-alkyl, SO₂-NH-(C_3 - C_8)-cycloalkyl, NH-SO₂-NH₂; NH-SO₂-(C_1 - C_8)-alkyl, NH-SO₂-(C_3 - C_8)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C_1 - C_8)-alkyl, COOH, CO-

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O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)alkyl, $CO-N[(C_1-C_8)-alkyl]_2$; (C_1-C_8) -alkyl, (C_3-C_8) -cycloalkyl, where in the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

- R6 $(CH_2)_{0-6}$ -R9, $(CH_2)_{0-6}$ -COOH, $(CH_2)_{0-6}$ -COO- $(C_1$ -C₆)-alkyl, $(CH_2)_{0-6}$ -CONH₂, (CH₂)₀₋₆-CH(NHR15)-COR16, F, Cl, Br, CN, (C₁-C₁₈)-alkyl, (C_3-C_4) -cycloalkyl, (C_6-C_8) -cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;
- R15 is H, C(O)-(C_1 - C_6)-alkyl;
- **R16** is OH, O-(C_1 - C_6)-alkyl, NH₂;
- R7 is $(CH_2)_{0-4}$ -R12, H, (C_1-C_{12}) -alkyl, (C_3-C_4) -cycloalkyl, (C_6-C_8) cycloalkyl, COO(C₁-C₆)-alkyl, COO(C₃-C₈)-cycloalkyl, where in the alkyl radicals or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine;
- R8 is $(CH_2)_{0-4}$ -R14, $(C_1$ - C_{12})-alkyl, $(C_3$ - C_4 -cycloalkyl, $(C_6$ - C_8)cycloalkyl, where in the alkyl or cycloalkyl radicals up to seven hydrogen atoms may be replaced by fluorine atoms;

R9, R12, R14 independently of one another are

phenyl, 1- or 2-naphthyl, biphenyl, or a heterocyclic radical, where the rings or ring systems are in each case substituted up to three times by

F, Cl, Br, I, CN, OH, O(C₁-C₈)-alkyl, O(C₃-C₈)-cycloalkyl, O-CO-(C₁- C_8)-alkyl, O-CO-(C_3 - C_8)-cycloalkyl, $S(O)_{0-2}(C_1$ - C_8)-alkyl, $S(O)_{0-2}(C_3$ -C₈)-cycloalkyl, NH₂, NH-(C₁-C₈)-alkyl, NH-(C₃-C₈)-cycloalkyl, N[(C₁-

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C₈)-alkyl]₂, N[(C₃-C₈)-cycloalkyl]₂, NH-CO-(C₁-C₈)-alkyl, NH-CO-(C₃-C₈)-cycloalkyl, SO₃H; SO₂-NH₂, SO₂-NH-(C₁-C₈)-alkyl, SO₂-NH-(C₃-C₈)-cycloalkyl, NH-SO₂-NH₂; NH-SO₂-(C₁-C₈)-alkyl, NH-SO₂-(C₃-C₈)-cycloalkyl; O-CH₂-COOH, O-CH₂-CO-O(C₁-C₈)-alkyl, COOH, CO-O(C₁-C₈)-alkyl, CO-O-(C₃-C₈)-cycloalkyl, CO-NH₂, CO-NH(C₁-C₈)-alkyl, CO-N[(C₁-C₈)-alkyl]₂; (C₁-C₈)-alkyl, (C₃-C₈)-cycloalkyl, where in the alkyl groups in each case one to seven hydrogen atoms may be replaced by fluorine;

and its physiologically acceptable salts.

- 3. A compound of the formula I as claimed in claim 1 in which
- R1, R2, R3, R4 independently of one another are H, F, Cl, Br, N₃, O(C₁-C₈)-alkyl, or (C₁-C₈)-alkyl and where in the alkyl groups one to seven hydrogen atoms may be replaced by fluorine;

where in each case at least one of the radicals R1, R2, R3 and R4 is different from hydrogen;

- X is SO_2 ;
- Y is $(CH_2)_p$, where p may be 0, 1 or 2;
- R5 is (C₁-C₈)-alkyl, where in the alkyl group up to seven hydrogen atoms may be replaced by fluorine;
- R6 is F, Cl, Br, CN, or (C₁-C₈)-alkyl, where in the alkyl group up to seven hydrogen atoms may be replaced by fluorine;
- R7 is H, or (C_1-C_{12}) -alkyl, where in the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

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R8 is (C_1-C_{12}) -alkyl, where in the alkyl group up to seven hydrogen atoms may be replaced by fluorine;

and its physiologically acceptable salts.

- 4. A pharmaceutical composition comprising one or more compounds as claimed in claim 1 and a pharmaceutically acceptable carrier.
- 5. The pharmaceutical composition according to claim 4, further comprising one or more active compounds for reducing weight in mammals.
- 6. A method for reducing weight in mammals, comprising administering to said mammal a compound of formula I as claimed in claim 1.
- 7. A method of treating obesity, comprising administering to a subject in need thereof, an effective amount of a compound of formula I as claimed in claim 1.
- 8. The method of claim 7, further comprising administering one or more active compounds for reducing weight in mammals.
- 9. A method of treating type II diabetes, comprising administering to a subject in need thereof, an effective amount of a compound of formula I as claimed in claim 1.
- 10. The method of claim 9, further comprising administering one or more active compounds for reducing weight in mammals.

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11. A method of maintaining weight loss, comprising administering to a subject in need thereof, an effective amount of a compound of formula I as claimed in claim 1.

12. The method of claim 11, further comprising administering one or more active compounds for reducing weight in mammals.